

Claims 1-11, 14 and 18-22 were rejected under 35 USC 112, second paragraph.

Claim 3 was rejected under 35 USC 112, first paragraph.

Claim 3 is cancelled.

Claims 1, 4, 11, 19, 20, 22, 23 and 24 are amended.

Claims 1, 2, 4-11, 18-21, 23 and 24 are presented for reconsideration.

Remarks

The amendment to claims 1, 19, 20, 22 and 23 defining "aliphatic" is supported by page 3 and the first partial paragraph on page 4 of the specification.

The amendment to claim 4 defining "hydrocarbyl" is supported by page 10, third full paragraph, of the specification.

The cancellation of claim 3 renders its rejection moot.

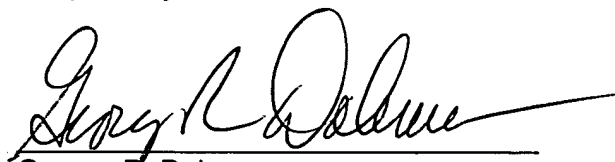
The amendment to claim 11 is supported by the original claim and by formula (I).

Applicants assert that the expression "heterocyclic" is a standard chemical term which is not inconsistent with the meaning given in this application. See page 18, last paragraph. When there is a further limitation in the claim, it is clear to one of skill that such a limitation is intended to restrict the heterocycles included to specific heterocycles that conform to limitations in addition to being heterocyclic. Applicants assert that the questions posed by the Examiner demonstrate that "heterocyclic" is a broad expression when not further limited. However, the answer or failure to answer the questions does not provide a proper basis for a rejection on definiteness grounds.

Applicants assert that the amendments to the claims and discussion above overcome all outstanding rejections. Accordingly, Applicants request reconsideration and withdrawal of the various outstanding rejections.

Entry of this amendment and reconsideration and allowance of the claims is respectfully requested.

Respectfully submitted,

A handwritten signature in black ink, appearing to read "George R. Dohmann", written over a horizontal line.

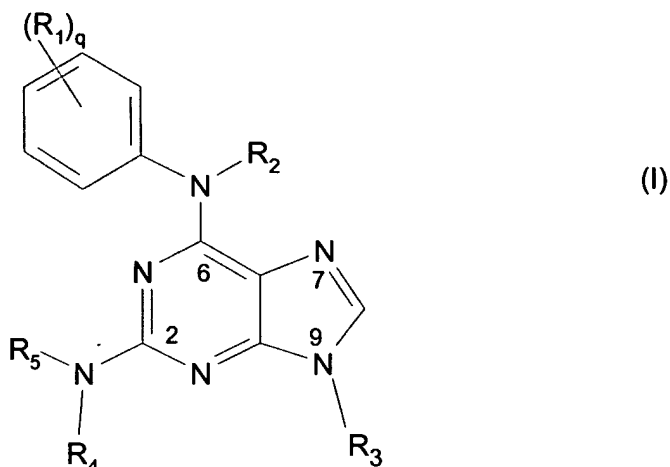
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Appendix – Marked-up Version of the Amended Claims

1. (three times amended) A compound of the formula I



wherein

q is 1-5,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $\text{-NH-S(=O)}_i\text{-R}_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R_9 , in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

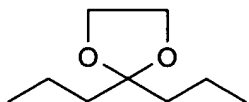
R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, , lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyll-amino and phenylglycyl-amino; and

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl ($-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}_2-$), 3-aza-2,4-dimethyl-pentane-1,5-diyl ($-\text{CH}_2-\text{CH}[\text{CH}_3]-\text{NH}-\text{CH}[\text{CH}_3]-\text{CH}_2-$), 3-amino-3-aza-pentane-1,5-diyl ($-\text{CH}_2-\text{CH}_2-\text{N}[\text{NH}_2]-\text{CH}_2-\text{CH}_2-$), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl ($-\text{CH}_2-\text{CH}_2-\text{N}[-\text{CH}_2-\text{CH}_2-\text{NH}_2]-\text{CH}_2-\text{CH}_2-$), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl ($-\text{CH}=\text{CH}-\text{N}=\text{CH}-$), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl ($-\text{CH}=\text{C}[\text{CH}_2\text{OH}]-\text{N}=\text{CH}-$) or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,

wherein in the above definitions

an aliphatic radical is an unsubstituted or substituted alkyl, alkenyl or alkynyl radical having not more than 20 C atoms which alkenyl or alkynyl radicals are mono- or polyunsaturated and a substituted aliphatic radical carries one or more identical or different radicals selected from halogen, amino, lower alkylamino, di-lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, aroylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, aryloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, aryloxy-carbonyl, benzyloxy-carbonyl, hydroxylaminocarbonyl, aminoacyl-amino, carbamoyl, amidino, cyano, hydroxy, lower alkoxy, aryloxy, aminocarbonyl-oxy, oxo, aminosulfonyl and lower alkylsulfonyl-amino;

or a salt thereof.

4. (twice amended) A compound of the formula I according to claim 1, wherein

q is 1-3,

R_1 is

α)- $\text{S}(=\text{O})_k-\text{NR}_6\text{R}_7$, in which

k is 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

$\alpha 2$) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 2, and

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is

hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy or lower alkoxy; an acyl radical of the part formula $Z-C(=W)-$, in which W is oxygen, sulfur or imino and Z is hydrogen, hydrocarbyl R^0 , hydrocarbyloxy R^0-O- or an amino group of the formula $R_{11}(R_{12})N-$,

in which R° in each case is C₁-C₄alkyl, hydroxy-C₂-C₁₄alkyl, cyano-C₁-C₄alkyl, carboxy-C₁-C₄alkyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkyl, C₃-C₇alkenyl or phenyl and R₁₁ and R₁₂

independently of one another are each hydrogen, lower alkyl, ω-amino-lower alkyl, lower alkylsulfonyl or phenyl;

a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginy-l-amino and phenylglycyl-amino;

benzyl, 2-phenyl-ethyl, 3-aminomethyl-benzyl, (1-hydroxy-cyclohex-1-yl)-methyl, (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl, 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-eth-1-yl, 1-carbamoyl-1-phenyl-methyl, 1-carbamoyl-2-(4-hydroxy-phenyl)-eth-1-yl, 1-carbamoyl-2-phenyl-eth-1-yl, 2-amino-1,2-diphenyl-eth-1-yl, 2-benzyloxycarbonyl-1-carbamoyl-eth-1-yl, 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl, 1-adamantyl-2-amino-prop-1-yl, 1-adamantyl-1-amino-prop-2-yl,

(2-furyl)-methyl, (2-tetrahydrofuryl)-methyl, 2-pyrid-2-yl-ethyl, 2-piperidino-ethyl, 2-(morpholin-4-yl)-ethyl, 2-(3-indolyl)-ethyl, 2-(4-imidazolyl)-ethyl, 1-carbamoyl-2-(β-indolyl)-eth-1-yl, 1-carbamoyl-2-imidazol-4-yl-eth-1-yl, 1-carbamoyl-2-indol-3-yl-eth-1-yl, 3-aminomethyl-oxetan-3-yl-methyl, 1-(acetoxymino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl,

C₄-C₈cycloalkyl, which is substituted by carboxy, thiocarboxy, lower alkoxycarbonyl, hydrazinocarbonyl, hydroxaminocarbonyl, amidino, sulfamoyl, sulfanyl, halogen, cyano, formyl, amino, hydroxy, lower alkoxy, lower aliphatic acyl, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

2-aminomethyl-3,3,5-trimethyl-cyclopent-1-yl, 3-amino-adamantan-1-yl, 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl, 9-amino-spiro[4.4]non-1-yl,

5-amino-2-oxa-1,3-diazol-4-yl, 4-amino-thien-3-yl, 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 3-carbamoyl-5-(3-[4-trifluoro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl or 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl, , and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl,

or a salt thereof, with the exception of 6-(4-benzoyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof

wherein in the above definitions hydrocarbonyl is an acyclic, carbocyclic or carbocyclid-acyclic hydrocarbon radical having not more than 29 carbon atoms which can have identical or different heteroatoms selected from oxygen, sulfur and nitrogen instead of one or more carbon atoms in a acyclic moiety.

11. (once amended) A compound of the formula I according to claim 1 selected from the group consisting of

cis-2-[6-(4-Butyl-aminosulfonyl-phenylamino)-9-ethyl-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

cis-2-[9-Ethyl-6-[4-(3-methylbutyl)-aminosulfonyl-phenylamino]-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

cis-2-[9-Ethyl-6-(4-isobutyl-amino-sulfonyl-phenylamino)-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

cis-2-[9-Ethyl-6-[4-(4-phenyl-piperazin-1-yl-sulfonyl)-phenylamino]-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9H-purin-6-yl-amino]-phenyl-*N*-(3-methyl-butyl)-sulfonamide

4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9H-purin-6-yl-amino]-phenyl-*N*-butyl-sulfonamide

4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9H-purin-6-yl-amino]-phenyl-*N*-isobutyl-sulfonamide

4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9H-purin-6-yl-amino]-phenyl-*N*-cyclohexyl-sulfonamide

cis-2-[6-(4-Cyclohexyl-aminosulfonyl-phenylamino)-9-ethyl-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

N-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(piperidine-1-sulfonyl)-phenyl]-9H-purine-2,6-diamine

cis-2-{9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenyl amino]-9*H*-purin-2-yl-amino}-
cyclohexanecarboxylic acid amide
cis-2-{6-[4-(*N*-Butyl-*N*-methyl-amino-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino}-
cyclohexanecarboxylic acid amide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-butyl-*N*-methyl-
sulfonamide
cis-2-{9-Ethyl-6-[4-(*N*-methyl-*N*-phenyl-aminosulfonyl)-phenylamino]-9*H*-purin-2-yl-amino}-
cyclohexanecarboxylic acid amide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-phenyl-
sulfonamide
N-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenyl]-9*H*-purine-
2,6-diamine
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-*N*-methyl-
sulfonamide
trans-4-(9-Ethyl-6-{4-[4-(4-fluoro-phenyl)-piperazine-1-sulfonyl]-phenylamino}-9*H*-purin-2-yl-amino)-
cyclohexanol
trans-4-(9-Ethyl-6-{4-[4-(3-trifluoromethyl-phenyl)-piperazine-1-sulfonyl]-phenylamino}-9*H*-purin-2-
yl-amino)-cyclohexanol
trans-4-(9-Ethyl-6-{4-[4-(2-methoxy-phenyl)-piperazine-1-sulfonyl]-phenylamino}-9*H*-purin-2-yl-
amino)-cyclohexanol
N-Cyclohexyl-{4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl}-*N*-
methyl-sulfonamide
trans-4-{9-Ethyl-6-[4-(pyrrolidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino}-cyclohexanol
trans-4-{6-[4-(Azepane-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino}-cyclohexanol
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-methoxy-
phenyl)-*N*-methyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(2-pyridin-2-yl-
ethyl)-sulfonamide
trans-4-{6-[4-(4-Benzyl-piperazine-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino}-
cyclohexanol
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(*trans*-4-hydroxy-
cyclohexyl)-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-naphthalen-1-yl-
methyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-heptyl-*N*-methyl-sulfonamide

N-(3,3-Diphenyl-propyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(1-methyl-3-phenyl-propyl)-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-methyl-butyl)-sulfonamide

trans-4-{9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino}-cyclohexanol

N-(3-Chloro-benzyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-imidazol-1-yl-phenyl)-sulfonamide)

N-(3,4-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5-fluoro-2-methyl-phenyl)-sulfonamide

N-(3,5-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-phenyl-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5,6,7,8-tetrahydro-1-naphthyl)-sulfonamide

N-Benzyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-phenyl-sulfonamide

4-{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonylamino}-benzoic acid propyl ester

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-morpholin-4-yl-phenyl)-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-quinolin-3-yl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-phenoxy-phenyl)-sulfonamide

N-(2,4-Eimethyl-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*m*-tolyl-sulfonamide)
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*o*-tolyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-trifluoromethyl-phenyl)-sulfonamide
N-(3,4-Dichloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
N-(3-Chloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-phenyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-propyl-sulfonamide
N-Butyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-sulfonamide
trans-4-{9-Ethyl-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino}-cyclohexanol
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-3-pyridylmethyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-2-furanylmethyl-sulfonamide
N-Benzyl-*N*-ethyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
N-Cyclohexyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamid
N-Cyclopropyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-hydroxy-propyl)-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-sulfonamide
N,N-Dibutyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
 4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-octyl-sulfonamide

trans-4-{9-Ethyl-6-[4-(morpholine-4-sulfonyl)-phenyl-amino]-9*H*-purin-2-yl-amino}-cyclohexanol
trans-4-{9-Ethyl-6-[4-(4-methyl-piperazine-1-sulfonyl)-phenyl-amino]-9*H*-purin-2-yl-amino}-cyclohexanol
N-Butyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isopropyl-sulfonamide
N-Benzyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N,N*-dimethyl-sulfonamide
N-Benzyl-3-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-benzamide
3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-fluoro-benzyl)-benzamide
3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-methyl-benzyl)-benzamide
3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-methoxy-benzyl)-benzamide
3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-trifluoromethyl-benzyl)-benzamide
N-{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl}-methanesulfonamide
N-{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4-methyl-benzenesulfonamide
N-{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4-methoxy-benzenesulfonamide
N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-methanesulfonamide
N-{3-[9-Ethyl-2-*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4-methyl-benzenesulfonamide
N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4-methoxy-benzenesulfonamide
{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid methyl ester

{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester

{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid phenyl ester

{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid methyl ester

{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester

{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid phenyl ester

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-trimethylsilylpropargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-phenylpropargylic acid amide)

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4,4-dimethyl-2-pentylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-chlorophenyl)-propargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-fluorophenyl)-propargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-p-tolylpropargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-methoxyphenyl)-propargylic acid amide

3-(4-Chloro-phenyl)-propynoic acid-N-{3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide

3-p-Tolyl-propynoic acid-N-{3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide

3-(4-Methoxy-phenyl)-propynoic acid-N-{3-[2-(4-hydroxy-cyclohexyl-amino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide

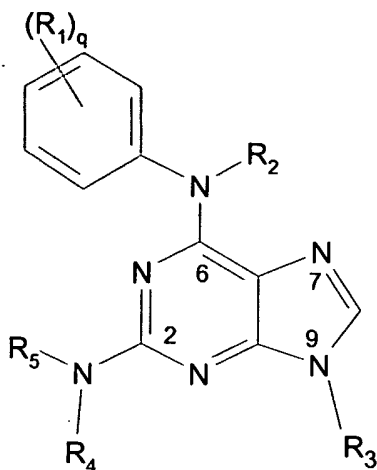
3-(4-Fluoro-phenyl)-propynoic acid-N-{3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide

3-(Phenyl)-propynoic acid-N-{3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide

Biphenyl-4-carboxylic acid-N-{3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide
 3-m-Tolyl-propynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(3-Trifluoromethyl-phenyl)-propynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 4,4-Dimethyl-pent-2-ynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(6-Methyl-pyridin-2-yl)-propynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(4-Methyl-pyrimidin-2-yl)-propynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,6-dichlorophenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2-thiophenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,5-dimethyl-phenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(3,4-dimethyl-phenyl)-propargylic acid amide
 4-Piperidin-1-yl-but-2-ynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexyl-amino)-9H-purin-6-ylamino]-phenyl}-amide
 4-(4-Methyl-piperazin-1-yl)-but-2-ynoic acid-N-{3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 and the pharmaceutical acceptable salts thereof.

19. (twice amended) A process for the preparation of a compound of the formula I

(I)



in which q is 1-5,

R_1 is

$\alpha)$ $-S(=O)_k-NR_6R_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1)$ R_6, R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2)$ R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

$\beta)$ N-(aryl lower alkyl)carbamoyl, or

$\gamma)$ a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

$\delta)$ a radical of the formula $-NH-C(=O)-R_9$, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

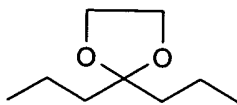
R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

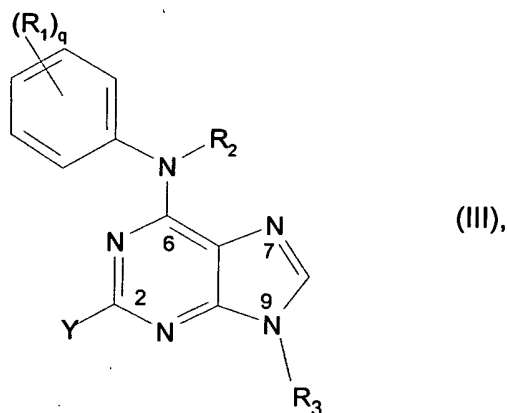
b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-

diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula

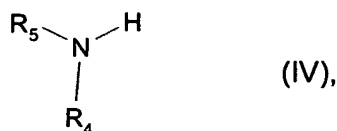


in which the two terminal bonds of the alkylene chain are free valencies, or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof, which comprises

a) for the manufacture of a compound of formula I, wherein R₁ is -SO_kNR₆R₇, reacting a compound of the formula III

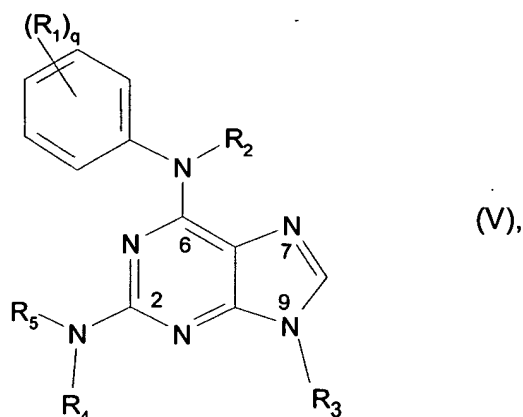


in which Y is a suitable leaving group, R₁ is -SO_kNR₆R₇ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an amine of the formula IV



in which the substituents are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present and, if necessary, converting functional groups into the final form according to formula I, or

b) for the manufacture of a compound of formula I, wherein R_1 is N-(aryl lower alkyl) carbamoyl, reacting a compound of the formula V



in which R_1 is $-\text{CO}_2\text{H}$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an aryl lower alkyl amine, free functional groups present in the aryl moiety, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, or

c) for the manufacture of a compound of formula I, wherein R_1 is a radical of the formula $-\text{NH}-\text{S}(=\text{O})_i-\text{R}_8$ or of the formula $-\text{NH}-\text{C}(=\text{O})-\text{R}_9$, reacting a compound of the formula V in which R_1 is $-\text{NH}_2$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with a compound of the formula VI or VII,



in which Y is a suitable leaving group and

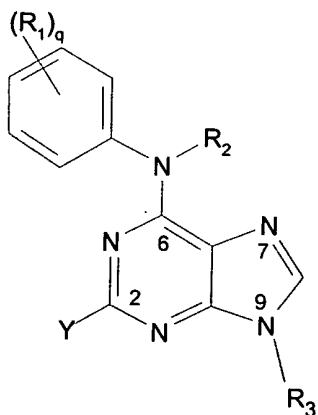
R_8 and R_9 are as defined above for compounds of the formula I, free functional groups present in R_8 or R_9 , if necessary, being protected by easily detachable protective groups, and detaching the protective groups present,

and, after carrying out process a), b) or c), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound;

wherein in the above definitions

an aliphatic radical is an unsubstituted or substituted alkyl, alkenyl or alkynyl radical having not more than 20 C atoms which alkenyl or alkynyl radicals are mono- or polyunsaturated and a substituted aliphatic radical carries one or more identical or different radicals selected from halogen, amino, lower alkylamino, di-lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, aroylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, aryloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, aryloxy-carbonyl, benzyloxy-carbonyl, hydroxylaminocarbonyl, aminoacyl-amino, carbamoyl, amidino, cyano, hydroxy, lower alkoxy, aryloxy, aminocarbonyl-oxy, oxo, aminosulfonyl and lower alkylsulfonyl-amino.

20. (twice amended) A compound of the formula III



(III),

in which

q is 1-5

Y is a suitable leaving group,

R₁ is -SO₂NR₆R₇

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino;

R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano,

it being possible for free functional groups present therein to be protected by easily detachable protective groups, or a salt thereof;

wherein in the above definitions

an aliphatic radical is an unsubstituted or substituted alkyl, alkenyl or alkynyl radical having not more than 20 C atoms which alkenyl or alkynyl radicals are mono- or polyunsaturated and a substituted aliphatic radical carries one or more identical or different radicals selected from halogen, amino, lower alkylamino, di-lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, aroylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, aryloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, aryloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, aminoacyl-amino, carbamoyl, amidino, cyano, hydroxy, lower alkoxy, aryloxy, aminocarbonyl-oxo, oxo, aminosulfonyl and lower alkylsulfonyl-amino.

22. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-5,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

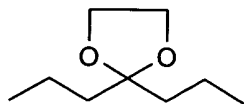
R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula

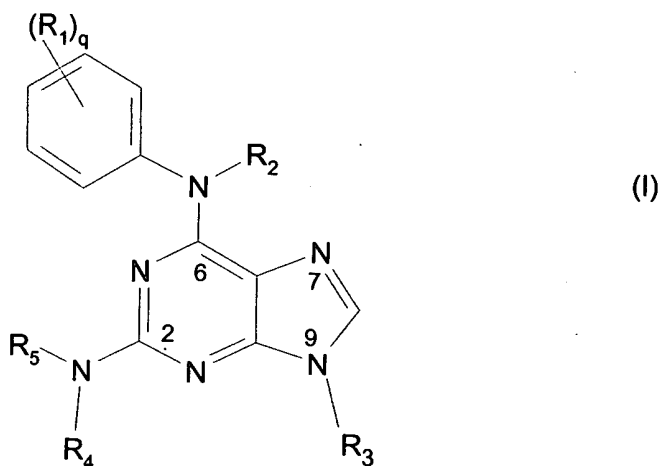


in which the two terminal bonds of the alkylene chain are free valencies,
or a salt thereof;

wherein in the above definitions

an aliphatic radical is an unsubstituted or substituted alkyl, alkenyl or alkynyl radical having not more than 20 C atoms which alkenyl or alkynyl radicals are mono- or polyunsaturated and a substituted aliphatic radical carries one or more identical or different radicals selected from halogen, amino, lower alkylamino, di-lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, aroylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, aryloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, aryloxy-carbonyl, benzyloxy-carbonyl, hydroxylaminocarbonyl, aminoacyl-amino, carbamoyl, amidino, cyano, hydroxy, lower alkoxy, aryloxy, aminocarbonyl-oxy, oxo, aminosulfonyl and lower alkylsulfonyl-amino.

23. (once amended) A compound of the formula I



wherein

q is 1-5,

R_1 is

α -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen.

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2$) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

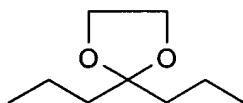
R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino-

lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

- b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-) or a radical of the formula



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amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, aryloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, aminoacyl-amino, carbamoyl, amidino, cyano, hydroxy, lower alkoxy, aryloxy, aminocarbonyl-oxy, oxo, aminosulfonyl and lower alkylsulfonyl-amino.